

Contents

List of Contributors *XXI*

Foreword 1 *XXVII*

Foreword 2 *XXIX*

Preface *XXXI*

1	Computational Studies of Heteroatom-Assisted C–H Activation at Ru, Rh, Ir, and Pd as a Basis for Heterocycle Synthesis and Derivatization	1
	<i>Kevin J. T. Carr, Stuart A. Macgregor, and Claire L. McMullin</i>	
1.1	Introduction	1
1.2	Palladium	2
1.2.1	Intramolecular Heteroatom-Assisted C–H Activation	2
1.2.1.1	Early Computational Studies	2
1.2.1.2	The Role of the Base, Solvent, and Additives on Pd-Mediated Intramolecular C–H Activation	5
1.2.1.3	Intramolecular C–H Activation of Heterocyclic Substrates	9
1.2.2	Intermolecular C–H Activation	11
1.2.2.1	Early Computational Studies	11
1.2.2.2	Direct Functionalization via C–H Activation of Heterocyclic Substrates	15
1.3	Ruthenium, Rhodium, and Iridium	22
1.3.1	Intramolecular Heteroatom-Assisted C–H Activation	22
1.3.2	Intermolecular C–H Activation	25
1.3.3	C–H Activation and Functionalization	27
1.3.3.1	Heterocycle Formation with Internal Oxidants	28
1.3.3.2	Heterocycle Formation without Internal Oxidants	34
1.3.4	Alkenylation and Amination	38
1.4	Conclusions	40
	Acknowledgments	41
	References	41

2	Pd-Catalyzed Synthesis of Nitrogen-Containing Heterocycles 45
	<i>Lixin Li, Xiaolei Ji, and Hanming Huang</i>
2.1	Introduction 45
2.2	General Consideration on Palladium Chemistry 45
2.3	Heterocycle Synthesis via C(sp ³)-H Activation 46
2.3.1	Heterocycle Synthesis via Activated C(sp ³)-H Bonds 47
2.3.2	Heterocycle Synthesis via Unactivated C(sp ³)-H Bonds 49
2.4	Heterocycles via C(sp ²)-H Activation 55
2.5	Conclusions 61
	References 62
3	Pd-Catalyzed Synthesis of Oxygen-Containing Heterocycles 65
	<i>Yudong Yang and Jingsong You</i>
3.1	Introduction 65
3.2	Palladium-Catalyzed C-H Activation/C-C Formation to Construct Oxacycles 66
3.2.1	Palladium-Catalyzed C-H Bond Arylation 67
3.2.2	Palladium-Catalyzed C-H Olefination 69
3.2.3	Palladium-Catalyzed C-H Alkylation 75
3.2.4	Palladium-Catalyzed C-H Carbonylation and Carboxylation 76
3.3	Palladium-Catalyzed C-H Activation/C-O Formation to Construct Oxacycles 80
3.3.1	Palladium-Catalyzed C-O Bond Formation via C(sp ²)-H Activation 81
3.3.2	Palladium-Catalyzed C-O Bond Formation via Allylic C-H Activation 84
3.4	Conclusions 86
	References 87
4	Pd-Catalyzed Synthesis of Other Heteroatom-Containing Heterocycles 91
	<i>Zhanxiang Liu and Yuhong Zhang</i>
4.1	Introduction 91
4.2	Sulfur-Containing Heterocycles 91
4.2.1	Benzo[b]thiophenes 92
4.2.2	Benzothiazoles 95
4.2.3	Sultones 98
4.2.4	Sultams 100
4.3	Phosphorus-Containing Heterocycles 102
4.3.1	P-C Heterocycles (Dibenzophosphole Oxides) 102
4.3.2	O-P=O Heterocycles 106
4.3.3	P-N Heterocycles 107
4.4	Silicon-Containing Heterocycles 108
4.4.1	Benzosiloles 108
4.4.2	Oxasiline and Azasiline 110

4.5	Summary and Conclusions	112
	References	113
5	Rh-Catalyzed Synthesis of Nitrogen-Containing Heterocycles	117
	<i>Krishnamoorthy Muralirajan and Chien-Hong Cheng</i>	
5.1	Introduction	117
5.2	Synthesis of Five-Membered Nitrogen Heterocycles	118
5.2.1	Synthesis of Indoles	118
5.2.2	Synthesis of Isoindolines	122
5.2.3	Synthesis of Unprotected Indoles	123
5.2.4	Synthesis of Indolines	124
5.2.5	Synthesis of Indazoles	124
5.2.6	Synthesis of Isoxazoles	125
5.2.7	Synthesis of Pyrroles	126
5.2.8	Synthesis of Isoindolin-1-ones	128
5.2.9	Synthesis of 3-Hydroxyisoindolin-1-ones	129
5.2.10	Synthesis of 3-(Imino)isoindolinones	129
5.2.11	Synthesis of Dihydrocarbazoles	131
5.2.12	Synthesis of Sultams	131
5.2.13	Synthesis of Phthalimides	132
5.3	Synthesis of Six-Membered Nitrogen Heterocycles	133
5.3.1	Synthesis of Isoquinolines by Rh(I) Catalysis	133
5.3.2	Synthesis of Isoquinolines by Rh(III) Catalysis	134
5.3.3	Synthesis of 1-Aminoisoquinolines	136
5.3.4	Synthesis of Isoquinolones and Related Derivatives	137
5.3.5	Synthesis of Phenanthridinones	142
5.3.6	Synthesis of Quinolines	143
5.3.7	Synthesis of Naphthyridines	144
5.3.8	Synthesis of Phthalazines	145
5.3.9	Synthesis of Acridines and Phenazines	145
5.3.10	Synthesis of Cinnolines	146
5.3.11	Synthesis of Isoquinolinones and Cinnolinones	147
5.3.12	Synthesis of Dihydropyridines	147
5.3.13	Synthesis of Pyridines	148
5.3.14	Synthesis of Pyridones	150
5.3.15	Synthesis of Pyrimidinones	150
5.4	Synthesis of Quaternary Ammonium Salts	151
5.4.1	Synthesis of Isoquinolinium Salts	151
5.4.2	Synthesis of Quinolizinium and Pyridinium Salts	153
5.4.3	Synthesis of Cinnolinium Salts	153
5.4.4	Synthesis of Isoquinoline <i>N</i> -Oxides and Pyridine <i>N</i> -Oxides	154
5.5	Synthesis of Seven-Membered Nitrogen Heterocycles	155
5.5.1	Synthesis of Azepinones	155
5.5.2	Synthesis of 1,2-Oxazepines	155

5.6	Summary and Conclusions	156
	References	156
6	Rh-Catalyzed Synthesis of Oxygen-Containing Heterocycles	161
	<i>Bin Liu, Fang Hu, and Bing-Feng Shi</i>	
6.1	Introduction	161
6.2	Synthesis of Five-Membered Oxygen-Containing Heterocycles	161
6.2.1	Intermolecular Annulation	161
6.2.1.1	Phthalides	161
6.2.1.2	Furans	163
6.2.1.3	Other Five-Membered Oxygen-Containing Heterocycles	165
6.2.2	Intramolecular Cyclization	167
6.2.2.1	Dihydrobenzofurans	167
6.2.2.2	Dibenzofuran	168
6.3	Synthesis of Six-Membered Oxygen-Containing Heterocycles	168
6.3.1	Intermolecular Annulation	168
6.3.1.1	Chromenes	168
6.3.1.2	Chromones	174
6.3.1.3	Coumarin	175
6.3.1.4	Other Six-Membered Oxygen-Containing Heterocycles	178
6.3.2	Intramolecular Cyclization	178
6.4	Synthesis of Seven-, Eight-, and Nine-Membered Oxygen-Containing Heterocycles	179
6.4.1	Intermolecular Annulation	179
6.4.2	Intramolecular Cyclization	180
6.5	Summary and Conclusions	181
	References	182
7	Ruthenium-Catalyzed Synthesis of Heterocycles via C–H Bond Activation	187
	<i>Bin Li and Baiquan Wang</i>	
7.1	Introduction	187
7.2	Ruthenium-Catalyzed Heterocycle Synthesis via Intramolecular C–C Bond Formation Based on C–H Bond Activation	188
7.3	Ruthenium-Catalyzed Heterocycle Synthesis via Intramolecular C–N Bond Formation Based on C–H Bond Activation	192
7.4	Ruthenium-Catalyzed Heterocycle Synthesis via Intermolecular C–C/C–O Bond Formation Based on C–H Bond Activation	194
7.4.1	Cyclization with Alkynes	194
7.4.2	Cyclization with Alkenes	198
7.4.3	Cyclization with Carbon Monoxide	201
7.4.4	Cyclization with 1,2-Diol	202
7.5	Ruthenium-Catalyzed Heterocycle Synthesis via Intermolecular C–C/C–N Bond Formation Based on C–H Bond Activation	203
7.5.1	Cyclization with Alkynes	203

7.5.2	Cyclization with Alkenes	220
7.5.3	Cyclization with Carbon Monoxide	225
7.5.4	Cyclization with Isocyanate	228
7.6	Summary and Conclusions	228
	References	229
8	Cu-Catalyzed Heterocycle Synthesis	233
	<i>Feng Chen and Ning Jiao</i>	
8.1	Introduction	233
8.2	Four-Membered-Ring Formation	233
8.3	Five-Membered-Ring Formation	234
8.3.1	Copper-Catalyzed Synthesis of Pyrroles	234
8.3.2	Copper-Catalyzed Synthesis of Pyrrolidines	237
8.3.3	Copper-Catalyzed Synthesis of Indoles	240
8.3.4	Copper-Catalyzed Synthesis of Indolines	242
8.3.5	Copper-Catalyzed Synthesis of Oxindoles	245
8.3.6	Copper-Catalyzed Synthesis of Indole-2,3-dione (Isatins)	248
8.3.7	Copper-Catalyzed Synthesis of Indolizines	250
8.3.8	Copper-Catalyzed Synthesis of Carbazoles	250
8.3.9	Copper-Catalyzed Synthesis of Imidazoles	251
8.3.10	Copper-Catalyzed Synthesis of Benzimidazoles	254
8.3.11	Copper-Catalyzed Synthesis of Imidazopyridines	256
8.3.12	Copper-Catalyzed Synthesis of Pyrazoles and Indazoles	260
8.3.13	Copper-Catalyzed Synthesis of Oxazoles	261
8.3.14	Copper-Catalyzed Synthesis of Benzoxazoles	262
8.3.15	Copper-Catalyzed Synthesis of 1,2,3-Triazoles	263
8.3.16	Copper-Catalyzed Synthesis of 1,2,3-Tetrazoles	264
8.3.17	Copper-Catalyzed Synthesis of Furans	264
8.4	Six-Membered-Ring Formation	266
8.4.1	Copper-Catalyzed Synthesis of Pyridines	266
8.4.2	Copper-Catalyzed Synthesis of Quinolines	267
8.4.3	Copper-Catalyzed Synthesis of Isoquinolines	271
8.4.4	Copper-Catalyzed Synthesis of Quinolinones	272
8.4.5	Copper-Catalyzed Synthesis of Acridones	273
8.4.6	Copper-Catalyzed Synthesis of Phenanthridine	275
8.4.7	Copper-Catalyzed Synthesis of Quinazoline and Quinazolinones	276
8.4.8	Copper-Catalyzed Synthesis of Cinnolines	277
8.4.9	Copper-Catalyzed Synthesis of Pyrimidinone	278
8.4.10	Copper-Catalyzed Synthesis of 1,4-Dihydropyrazine Derivatives	278
8.4.11	Copper-Catalyzed Synthesis of 1,3-Oxazines	279
8.4.12	Copper-Catalyzed Synthesis of Oxazinone Derivatives	280
8.4.13	Copper-Catalyzed Synthesis of Chroman Derivatives	280
8.4.14	Copper-Catalyzed Synthesis of Benzolactone Derivatives	281

8.4.15	Copper-Catalyzed Synthesis of Coumarin Derivatives	282
8.4.16	Copper-Catalyzed Synthesis of Xanthone Derivatives	283
8.4.17	Copper-Catalyzed Synthesis of N,S-Heterocycles	284
8.5	Summary	285
	References	285
9	Fe- and Ag-Catalyzed Synthesis of Heterocycles	291
	<i>Jin-Heng Li and Ren-Jie Song</i>	
9.1	Introduction	291
9.2	Iron-Catalyzed Synthesis of Heterocycles	291
9.2.1	Iron-Catalyzed Synthesis of Nitrogen-Containing Heterocycles	292
9.2.2	Iron-Catalyzed Synthesis of Oxygen-Containing Heterocycles	304
9.3	Silver-Catalyzed Synthesis of Heterocycles	307
9.3.1	Silver-Catalyzed Synthesis of Nitrogen-Containing Heterocycles	308
9.3.2	Silver-Catalyzed Synthesis of Oxygen- or Phosphorus-Containing Heterocycles	311
9.4	Conclusion and Outlook	312
	References	314
10	Heterocycles Synthesis via Co-Catalyzed C–H Bond Functionalization	317
	<i>Naohiko Yoshikai</i>	
10.1	Introduction	317
10.2	Heterocycle Synthesis via Low-Valent Cobalt-Catalyzed C–H Activation	319
10.3	Heterocycle Synthesis via High-Valent Cobalt-Catalyzed C–H Activation	325
10.4	Heterocycle Synthesis via C–H Functionalization under Co(II)-Based Metalloradical Catalysis	331
10.5	Summary and Conclusions	335
	References	335
11	Ir-Catalyzed Heterocycles Synthesis	339
	<i>Yasushi Obora</i>	
11.1	Introduction	339
11.2	Ir-Catalyzed Heterocyclization by <i>ortho</i> -Aryl C–H Activation	340
11.2.1	Ir-Catalyzed [3+2] Cyclization of Ketimines with 1,3-Dienes/Alkynes	340
11.2.2	Ir-Catalyzed Cyclization of Benzoic Acid to Give 2-Hydroxy-6 <i>H</i> -benzo[<i>c</i>]chromen-6-ones	342
11.2.3	Ir-Catalyzed Cyclization of <i>N</i> -Arylcarbamoyl Chlorides with Alkynes	342
11.3	Ir-Catalyzed Heterocyclization by Benzylic C–H Activation	343
11.3.1	Ir-Catalyzed <i>N</i> -Cyclization of Aryl Azides	343

11.3.2	Ir-Catalyzed Silylation of Benzylic Amines and 2, <i>N</i> -Dialkylanilines via Aryl C–H Bond Activation 343
11.4	Ir-Catalyzed Heterocyclization by sp ³ C–H Activation 344
11.4.1	Ir-Catalyzed <i>N</i> -Cyclization of Aryl Azides 344
11.5	Heterocyclization by Ir Catalyst as Lewis Acid 345
11.6	Ir-Catalyzed Heterocyclization by C–H Bond Activation through Transfer Hydrogenation 345
11.6.1	Ir-Catalyzed <i>N</i> -Heterocyclization of Naphthylamines with Diols 345
11.6.2	Ir-Catalyzed Reaction of Anilines with Diols to Give 2,3-Disubstituted Indoles 346
11.6.3	Ir-Catalyzed Synthesis of Indole from 2-Aminoaryl Ethyl Alcohol 347
11.6.4	Ir Catalysts with Pyrazoyl and Pyrazoyl-1,2,3-bidentate (N–N) Ligands for the Synthesis of Tricyclic Indoles 347
11.7	Miscellaneous Reactions 349
11.7.1	Ir-Catalyzed Arylative Cyclization of Alkynones with Arylboronic Acid 349
11.7.2	<i>N</i> -Heterocyclization of Aminoalcohol by Ir Catalyst with a Triazolyl-diylidene Ligand 349
11.7.3	Synthesis of Indoles from Aminoalcohol and Alkynyl Alcohols by Ir–Pt Catalyst 350
11.7.4	Synthesis of Pyrrolo[1,2- <i>a</i>]quinoxalines by Iridium Complex-Catalyzed Annulation of 2-Alkylquinoxalkines 351
11.7.5	Ir-MOF-Catalyzed Hydrosilylation/ <i>Ortho</i> -Silylation to Benzoxasiloles 352
11.7.6	Synthesis of Furanes and Pyrroles Involving Alkylation of 1,3-Dicarbonyl Compounds by Iridium–Tin Bimetallic Catalyst 353
11.8	Summary and Conclusions 353 References 354
12	Au- and Pt-Catalyzed C–H Activation/Functionalizations for the Synthesis of Heterocycles 359 <i>Yuanjing Xiao and Junliang Zhang</i>
12.1	Introduction 359
12.2	Synthesis of <i>O</i> -Heterocycles 360
12.2.1	Synthesis of Five-Membered <i>O</i> -Heterocycles 360
12.2.1.1	Via Au-Catalyzed C(sp)–H Functionalization 360
12.2.1.2	Via Au-Catalyzed Aryl C(sp ²)–H Functionalization 360
12.2.1.3	Via Au-Catalyzed C(sp ³)–H Functionalization 362
12.2.1.4	Via Pt-Catalyzed C(sp ³)–H Functionalization 362
12.2.1.5	Via Au-Catalyzed C(sp)–H and C(sp ³)-H Functionalization 362
12.2.2	Synthesis of Six-Membered <i>O</i> -Heterocycles 363
12.2.2.1	Via Au-Catalyzed C(sp)–H Functionalization 363

12.2.2.2	Via Au-Catalyzed Formyl C(sp ²)-H Functionalization	364
12.2.2.3	Via Au-Catalyzed Aryl C(sp ²)-H Functionalization	365
12.2.2.4	Via Au-Catalyzed C(sp ³)-H Functionalization	367
12.3	Synthesis of <i>N</i> -Heterocycles	369
12.3.1	Synthesis of Five-Membered <i>N</i> -Heterocycles	369
12.3.1.1	Via Au-Catalyzed C(sp)-H Functionalization	369
12.3.1.2	Via Au-Catalyzed C(sp)-H and Alkenyl C(sp ²)-H Functionalization	369
12.3.1.3	Via Au-Catalyzed C(sp)-H, C(sp ³)-H, or Aryl C(sp ²)-H Functionalization	369
12.3.1.4	Via Au-Catalyzed Aryl C(sp ²)-H Functionalization	370
12.3.1.5	Via Au-Catalyzed C(sp ³)-H Functionalization	374
12.3.1.6	Via Au-Catalyzed Miscellaneous Reactions	374
12.3.2	Synthesis of Six-Membered <i>N</i> -Heterocycles	376
12.3.2.1	Via Au-Catalyzed C(sp)-H and Aryl C(sp ²)-H Functionalization	376
12.3.2.2	Via Au-Catalyzed Formyl C(sp ²)-H Functionalization	376
12.3.2.3	Via Au-Catalyzed C(sp)-H and C(sp ³)-H Functionalization	377
12.3.2.4	Via Au-Catalyzed Aryl C(sp ²)-H Functionalization	377
12.3.2.5	Via Pt-Catalyzed Aryl C(sp ²)-H Functionalization	379
12.3.2.6	Via Au-Catalyzed C(sp ³)-H Functionalization	380
12.3.3	Synthesis of Seven-Membered <i>N</i> -Heterocycles	382
12.3.3.1	Via Au-Catalyzed C(sp ²)-H Functionalization	382
12.3.3.2	Via Au-Catalyzed C(sp ³)-H Functionalization	382
12.4	Synthesis of <i>S</i> -Heterocycles	383
12.4.1	Synthesis of Seven-Membered <i>S</i> -Heterocycles via Au-Catalyzed Aryl C(sp ²)-H Functionalization	383
12.5	Synthesis of <i>O</i> -Heterocycles and <i>N</i> -Heterocycles	383
12.5.1	Synthesis of Five-Membered <i>O</i> -Heterocycles and <i>N</i> -Heterocycles	383
12.5.1.1	Via Au-Catalyzed C(sp)-H Functionalization	383
12.5.1.2	Via Au-Catalyzed Aryl C(sp ²)-H Functionalization	385
12.5.2	Synthesis of Six-Membered <i>O</i> -Heterocycles and <i>N</i> -Heterocycles	386
12.5.2.1	Via Pt or Au-Catalyzed Aryl C(sp ²)-H Functionalization	386
12.6	Synthesis of Fused Polycyclic Polyheterocycles	389
12.6.1	Via Au-Catalyzed Aryl C(sp ²)-H Functionalization	389
12.6.2	Via Au- or Pt-Catalyzed Aryl C(sp ²)-H Functionalization	393
12.6.3	Via Pt-Catalyzed Aryl C(sp ²)-H Functionalization	394
12.6.4	Via Au-Catalyzed C(sp ³)-H Functionalization	395
12.6.5	Via Pt-Catalyzed C(sp ³)-H Functionalization	396
12.7	Conclusions	397
	References	398

13	Heterocycle Synthesis Based on Visible-Light-Induced Photocatalytic C–H Functionalization	403
	<i>Wei Ding, Wei Guo, Ting-Ting Zeng, Liang-Qiu Lu and Wen-Jing Xiao</i>	
13.1	Introduction	403
13.2	<i>de novo</i> Synthesis of Heterocycles	404
13.2.1	Photocatalytic sp^3 C–H Functionalization for Heterocycle Synthesis	404
13.2.2	Photocatalytic sp^2 C–H Functionalization for Heterocycle Synthesis	415
13.3	Direct C–H Functionalization of Heteroarenes	427
13.3.1	The Photocatalytic Alkylation of Heteroarenes	427
13.3.2	The Photocatalytic Arylation of Heteroarenes	437
13.3.3	The Photocatalytic Amination and Sulfuration of Heteroarenes	439
13.4	Summary and Outlook	443
	References	444
 14	 Heterogeneous C–H Activation for the Heterocycle Synthesis	 449
	<i>Lin He and Matthias Beller</i>	
14.1	Introduction	449
14.2	Heterogeneous Pd-Catalyzed Heterocycle Synthesis via C–H Activation	450
14.3	Heterogeneous Photocatalysis for the Heterocycle Synthesis via C–H Activation	460
14.4	Summary	464
	References	464
 15	 Transition Metal-Catalyzed Carbonylative Synthesis of Heterocycles via C–H Activation	 467
	<i>Jianbin Chen and Xiao-Feng Wu</i>	
15.1	Introduction	467
15.2	Cobalt-Catalyzed Heterocyclic Synthesis via Carbonylative C–H Activation	468
15.2.1	Five-Membered Ring Synthesis	468
15.3	Rhodium-Catalyzed Heterocyclic Synthesis via Carbonylative C–H Activation	471
15.3.1	Five-Membered Ring Synthesis	471
15.4	Ruthenium-Catalyzed Heterocyclic Synthesis via Carbonylative C–H Activation	472
15.4.1	Five-Membered Ring Synthesis	472
15.4.2	Six-Membered Ring Synthesis	476
15.5	Palladium-Catalyzed Heterocyclic Synthesis via Carbonylative C–H Activation	477
15.5.1	Four-Membered Ring Synthesis	477
15.5.2	Five-Membered Ring Synthesis	479
15.5.3	Six-Membered Ring Synthesis	485

15.6	Summary and Outlook	500
	References	501
16	Synthesis of Natural Products and Pharmaceuticals via Catalytic C–H Functionalization	505
	<i>Junichiro Yamaguchi, Kazuma Amaike, and Kenichiro Itami</i>	
16.1	Introduction	505
16.2	Natural Products Containing Heteroaromatics	505
16.2.1	Indoles and Related Compounds	505
16.2.1.1	Dragmacidin D (C–H Arylation of Indoles at the C3 Position)	507
16.2.1.2	Clavicipitic Acid (C–H Alkenylation of Indoles at the C3 Position)	507
16.2.1.3	Paraherquamide B (Intramolecular C–H Alkylation of Indoles at the C2 Position)	507
16.2.1.4	PKC Inhibitor (Intramolecular C–H Alkylation of Indoles at the C2 Position)	509
16.2.1.5	Clavicipitic Acid (C–H Alkenylation of Indoles at the C4 Position)	511
16.2.1.6	Hippadine (C–H Borylation of Indoles at the C7 Position)	511
16.2.1.7	Dictyodendrin B (C–H Arylation of Pyrroles at the C3 Position, C–H Borylation of Indoles at the C7 Position, and Nitrene C–H Insertion of Indoles at the C4 Position)	512
16.2.1.8	Paullone (Oxidative Larock Indole Synthesis)	514
16.2.1.9	Horsfiline (Indole Synthesis by Intermolecular C–H Coupling)	514
16.2.1.10	Dimebolin (Indole Synthesis by Nitrenoid C–H Insertion Reaction)	515
16.2.2	Pyrroles and Related Compounds	516
16.2.2.1	Rhazinilam (Intramolecular and Intermolecular C–H Arylation of Pyrroles at the C4 Position)	516
16.2.2.2	Rhazinilam and Aspidospermidine (C–H Borylation and C–H Alkylation of Pyrroles at the C4 and C5 Positions)	518
16.2.2.3	Lamellarins C and I (Inter- and Intramolecular C–H Arylation of Pyrroles at the C2, C3, and C4 Positions)	518
16.2.2.4	Dictyodendrins A and F (C–H Arylation and C–H Insertion of Pyrroles on C2, C3, and C5 Position)	521
16.2.3	Carbazoles and Related Compounds	522
16.2.3.1	Clausine P and Glycozolidine (Synthesis of Carbazoles by Intramolecular Ar–H/Ar–X Arylation)	522
16.2.3.2	Clausenine (Synthesis of Carbazoles by Intramolecular C–H/C–H Arylation)	523
16.2.3.3	Clausine C and Glycozoline (Synthesis of Carbazoles by Intramolecular C–H Amination)	524
16.2.4	Benzofuran and Related Compounds	524
16.2.4.1	Frondosin B (C–H Alkenylation of Benzofuran)	524
16.2.4.2	Diptoindonesin G (C–H Arylation of Benzofuran)	525

16.2.4.3	Lithospermic Acid (Formation of Dihydrobenzofuran Using C–H Alkylation)	526
16.2.4.4	Lithospermic Acid (Formation of Dihydrobenzofuran Using C–H Insertion and C–H Alkenylation at the C4 Position of Dihydrobenzofuran)	526
16.2.4.5	Morphine (Intramolecular C–H Insertion to Dihydrobenzofuran)	527
16.2.5	Imidazoles, Oxazoles, Thiazoles, and Related Compounds	528
16.2.5.1	JNK3 Inhibitors (C–H Alkylation of Imidazoles)	528
16.2.5.2	Tyrosine Kinase Inhibitor (C–H Arylation of Imidazoles)	529
16.2.5.3	Texaline, Febuxostat, and Muscoride A (C–H Arylation of Oxazoles or Thiazoles)	531
16.2.5.4	Annuloline and Siphonazole B (C–H Alkenylation of Oxazoles at the C2 Position)	534
16.2.6	Quinazolines and Related Compounds	535
16.2.6.1	Luotonin B (Intramolecular C–H Arylation of Quinazoline)	535
16.2.6.2	Vasicoline (C–H Alkylation of Quinazoline)	535
16.2.7	Quinolines, Isoquinolines, Phenanthridines, and Related Compounds	536
16.2.7.1	Norchelerythrine (Intramolecular C–H Arylation)	536
16.2.7.2	Nitidine and NK 109 (Catellani-Type C–H Arylation/ <i>N</i> -Arylation)	536
16.2.7.3	LTB4 Antagonist and MCH-1R Receptor Modulator (sp ³ C–H Arylation/Intramolecular C–H Amination)	537
16.2.7.4	Tipifarnib (C–H Alkenylation and Cyclization)	537
16.2.7.5	Oxychelerythrine (C–H Alkenylation and Annulation)	538
16.2.8	Pyridines and Related Compounds	539
16.2.8.1	Sodium Channel Inhibitor and Antimalarial Agent (C–H Arylation of Pyridines at the C2 Position)	539
16.2.8.2	Complanadine A and B (C–H Borylation of Pyridine at C3 Position or C–H Arylation of Pyridines at C2 Position)	539
16.2.8.3	Anabashine (C–H Arylation of Iminopyridium Ylides)	540
16.2.8.4	Preclamol (C–H Arylation of Pyridine at the C3 Position)	542
16.2.9	Other Heterocycles	542
16.2.9.1	Celecoxib (C–H Arylation of Pyrazoles)	542
16.2.9.2	GABA 2/3 Agonist (C–H Arylation of Imidazopyrimidines)	543
16.2.9.3	Nigellidine Hydrobromide, YD-3, and YC-1 (C–H Arylation of Indazoles)	543
16.2.9.4	Pseudoheliotridane (Formation of Pyrrolidines Using sp ³ C–H Insertion)	544
16.2.9.5	Aeruginosin (sp ³ C–H Alkenylation and Arylation)	545
16.3	Summary	546
	References	547